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DATE: Monday, June 12, 2006

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	L13	peroxochromate and L12	1	
	L12	rheumatoid and L11	396	
	L11	thiazole and L10	492	
	L10	arthritis and L9	1472	
	L9	11 or 12 or 13 or 14 or 15 or 16 or 17 or L8	7263	
	L8	548/518.ccls.	856	
	L7	548/469.ccls.	494	
	L6	548/146.ccls.	674	
	L5	544/133.ccls.	487	
	L4	514/422.ccls.	2057	
	L3	514/415.ccls.	1128	
	L2	514/365.ccls.	2391	
	L1	514/236.8.ccls.	273	

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                Pre-1988 INPI data added to MARPAT
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                visualization results
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NEWS
        FEB 22
                Updates in EPFULL; IPC 8 enhancements added
NEWS
     6
        FEB 22
                New STN AnaVist pricing effective March 1, 2006
        FEB 27
NEWS
     7
                Updates in PATDPA; addition of IPC 8 data without attributes
        MAR 03
NEWS
     8
                EMBASE is now updated on a daily basis
NEWS 9
        MAR 22
                New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 10
        APR 03
NEWS 11 APR 03 Bibliographic data updates resume; new IPC 8 fields and IPC
                thesaurus added in PCTFULL
                STN AnaVist $500 visualization usage credit offered
NEWS 12 APR 04
NEWS 13
        APR 12
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                Improved structure highlighting in FQHIT and QHIT display
NEWS 14 APR 12
                in MARPAT
NEWS 15 APR 12 Derwent World Patents Index to be reloaded and enhanced during
                 second quarter; strategies may be affected
NEWS 16 MAY 10 CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 17 MAY 11 KOREAPAT updates resume
NEWS 18 MAY 19 Derwent World Patents Index to be reloaded and enhanced
NEWS 19 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAplus and
                USPATFULL/USPAT2
                The F-Term thesaurus is now available in CA/CAplus
NEWS 20 MAY 30
NEWS 21 JUN 02 The first reclassification of IPC codes now complete in
                 INPADOC
                 FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
NEWS EXPRESS
                 CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
                 AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
                 V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
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=>
Uploading C:\Program Files\Stnexp\Queries\10612187\Struc 5.str

chain nodes :

12 13 20 22 23 24

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 14 15 16 17 18 19

chain bonds :

10-12 12-13 13-22 15-22 20-23 23-24

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-11 7-8 8-9 9-10 10-11 14-19 14-15 15-16

16-17 17-18 18-19

exact/norm bonds :

7-11 7-8 8-9 9-10 10-11

exact bonds :

10-12 12-13 13-22 15-22 20-23 23-24

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 14-19 14-15 15-16 16-17 17-18 18-19

## Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 31:CLASS

# L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR

$$\begin{bmatrix} CH_2 \end{bmatrix}_{1-4} \begin{bmatrix} CH_2 \end{bmatrix}_{0-4} CH_2 \\ CH_2 \end{bmatrix}_{0-3}$$

10612187a.trn

Structure attributes must be viewed using STN Express query preparation.

=> 11

SAMPLE SEARCH INITIATED 12:25:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 3627 TO ITERATE

55.1% PROCESSED 2000 ITERATIONS

1 ANSWERS

16 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 68929 TO 76151 PROJECTED ANSWERS: 1 TO 116

L2 1 SEA SSS SAM L1

=> 11 full

FULL SEARCH INITIATED 12:25:18 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 74070 TO ITERATE

100.0% PROCESSED 74070 ITERATIONS

SEARCH TIME: 00.00.01

L3 16 SEA SSS FUL L1

=> file medline caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 166.94 167.15

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=> 13

L4 2 L3

=> d ibib abs hitstr 1-2

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:41452 CAPLUS

DOCUMENT NUMBER: 140:111408

TITLE: Preparation of substituted heteroaryl and heterocyclic

compounds useful NAD oxidase hydride donor inhibitors

INVENTOR(S):
Beers, Scott

PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND DATE				APPL	ICAT		DATE				
	040052	67		772	-	2004	0115	,	 WO 3	UU3	11630	 701		2	0030	702
WO 20																
W	: AE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
						SC,										
	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW					
R	W: GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	ΤZ,	·UG,	ZM,	ZW,	AM,	AZ,	BY,
	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	ΒĖ,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	SI,	SK,	TR,	BF,
	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
AU 2003267980				A1		2004	0123		AU 2	003-	2679	80		2	0030	702
US 2005014745				A1 20050120				1	US 2	003-	6121	87		2	0030	702
PRIORITY APPLN. INFO.:								1	US 2	002-	3937	10P		P 2	0020	703
								1	WO 2	003-	US20	781	1	W 2	0030	702
OTHER SOURCE(S):					PAT	140:	1114									

 $(R^1)_{1?3}-A-B-(CH_2)_{1?4}-O-(CH_2)_{0?4}-E-(CH_2)_{1?4}-NR^2R^3$  I

The invention refers to substituted heteroaryl and heterocyclic compds. I AB [wherein: R1 is a substituent on the 3, 4 or 5 position of the ring A and R1 = H, alkyl, alkoxy, NH2, NH-alkyl, N(alkyl)2, halogen, OH; A, E = phenylene or pyridinylene; B is a monocyclic 5-membered heteroarylene containing N, O, or S, and optionally containing an addnl. N; R2, R3 = H, alkyl-R4, cycloalkyl; R4 = alkoxy, NH2, NH-alkyl, N(alkyl)2, 1-3 halogen(s), OH, cycloalkyl-R5, heterocyclyl-R5, (hetero)aryl-R5; R5 = H, 1 or 2 of alkyl or alkoxy] and pharmaceutically acceptable salts thereof useful as NAD oxidase hydride donor inhibitors. Compds. I are claimed to be useful in treating or ameliorating reactive oxygen species-mediated inflammatory disorders such as osteoarthritis and Alzheimer's disease. an NADPH oxidase assay for inhibition of superoxide-mediated reduction of cytochrome c in human neutrophils incubated with phorbol myristate acetate, 11 compds. I had IC50 values of 0.04-3.45 μM. For instance, compound II (IC50 = 1.65 µM) was prepared via heterocyclization of 4-ClC6H5C(O)CH2Br with H2NC(S)CO2Et, reduction of obtained thiazole III to the appropriate alc. analog, etherification with 3-HOC6H5CHO, and subsequent

reductive amination by propylamine. IT 646053-15-8P, 3-[[4-(4-Chlorophenyl)-2-thiazolyl]methoxy]-Npropylbenzenemethanamine 646053-17-0P, 4-[[4-(4-Chlorophenyl)-2thiazolyl]methoxy]-N-propylbenzenemethanamine 646053-18-1P, 3-[[4-(4-Chlorophenyl)-2-thiazolyl]methoxy]-N-(2methylpropyl) benzenemethanamine 646053-19-2P, 3-[[4-(4-Chlorophenyl)-2-thiazolyl]methoxy]-Ncyclopentylbenzenemethanamine 646053-20-5P, 3-[[4-(4-Chloropheny1) -2-thiazoly1] methoxy] -N-cyclohexylbenzenemethanamine 646053-21-6P, 3-[[4-(4-Chlorophenyl)-2-thiazolyl]methoxy]-Ncyclopropylbenzenemethanamine 646053-22-7P, N-[[3-[[4-(4-Chlorophenyl) -2-thiazolyl] methoxy] phenyl] methyl] -1-methyl-2pyrrolidinemethanamine dihydrochloride 646053-23-8P, 4-[2-[[[3-[[4-(4-Chlorophenyl)-2-thiazolyl]methoxy]phenyl]methyl]amino]eth yl]morpholine dihydrochloride 646053-24-9P, 4-(4-Chlorophenyl)-2-[[3-[[(5-methyl-2-furanyl)methyl]amino]methyl]phenoxy]methyl]thiazole 646053-25-0P, N-[[3-[[4-(4-Chlorophenyl)-2thiazolyl]methoxy]phenyl]methyl]-5-methoxy-1H-indole-3-ethanamine hydrochloride 646053-26-1P, 4-[[4-(4-Chlorophenyl)-2thiazolyl] methoxy] -N-cyclopentylbenzenemethanamine 646053-27-2P, 3-[[4-(4-Chlorophenyl)-2-thiazolyl]methoxy]benzenemethanamine 646053-30-7P 646053-31-8P 646053-32-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (preparation of substituted heteroaryl and heterocyclic compds. as NAD oxidase hydride donor inhibitors useful in treating/ameliorating reactive oxygen species-mediated inflammatory disorders) RN 646053-15-8 CAPLUS Benzenemethanamine, 3-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]-N-propyl-CN (CA INDEX NAME) (9CI)

$$C1$$
 $N$ 
 $CH_2-O$ 
 $CH_2-NHPr-n$ 

RN 646053-17-0 CAPLUS
CN Benzenemethanamine, 4-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]-N-propyl(9CI) (CA INDEX NAME)

RN 646053-18-1 CAPLUS
CN Benzenemethanamine, 3-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]-N-(2methylpropyl)- (9CI) (CA INDEX NAME)

$$C1$$
 $N$ 
 $CH_2-O$ 
 $CH_2-NHBu-i$ 

RN 646053-19-2 CAPLUS

CN Benzenemethanamine, 3-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]-N-cyclopentyl- (9CI) (CA INDEX NAME)

$$N$$
  $CH_2-O$   $CH_2-NH$ 

RN 646053-20-5 CAPLUS

CN Benzenemethanamine, 3-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]-N-cyclohexyl- (9CI) (CA INDEX NAME)

$$C1$$
 $N$ 
 $CH_2-O$ 
 $CH_2-NH$ 

RN 646053-21-6 CAPLUS

CN Benzenemethanamine, 3-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]-N-cyclopropyl- (9CI) (CA INDEX NAME)

RN 646053-22-7 CAPLUS

CN 2-Pyrrolidinemethanamine, N-[[3-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]phenyl]methyl]-1-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

CN

CN

C1 
$$\sim$$
 CH<sub>2</sub>- NH- CH<sub>2</sub>  $\sim$  NH  $\sim$  N

## ●2 HCl

RN 646053-23-8 CAPLUS

4-Morpholineethanamine, N-[[3-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]phenyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

## ●2 HCl

RN 646053-24-9 CAPLUS

2-Furanmethanamine, N-[[3-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]phenyl]methyl]-5-methyl- (9CI) (CA INDEX NAME)

$$C1$$
 $N$ 
 $CH_2-O$ 
 $CH_2-NH-CH_2$ 
 $Me$ 

RN 646053-25-0 CAPLUS

CN 1H-Indole-3-ethanamine, N-[[3-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]phenyl]methyl]-5-methoxy-, monohydrochloride (9CI) (CAINDEX NAME)

PAGE 1-A

$$\begin{array}{c|c} H \\ N \\ CH_2 - CH_2 - NH - CH_2 \\ \hline \end{array} \begin{array}{c} O - CH_2 \\ S \\ \hline \end{array}$$

● HCl

PAGE 1-B

Cl

RN 646053-26-1 CAPLUS

CN Benzenemethanamine, 4-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]-N-cyclopentyl- (9CI) (CA INDEX NAME)

$$C1$$
 $CH_2-NH$ 
 $CH_2-NH$ 

RN 646053-27-2 CAPLUS

CN Benzenemethanamine, 3-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]- (9CI) (CA INDEX NAME)

RN 646053-30-7 CAPLUS

CN 2-Pyrrolidinemethanamine, N-[[3-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]phenyl]methyl]-1-methyl- (9CI) (CA INDEX NAME)

$$C1$$
 $N$ 
 $CH_2-O$ 
 $CH_2-NH-CH_2$ 
 $N$ 

RN 646053-31-8 CAPLUS

CN 4-Morpholineethanamine, N-[[3-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 646053-32-9 CAPLUS

CN 1H-Indole-3-ethanamine, N-[[3-[[4-(4-chlorophenyl)-2-thiazolyl]methoxy]phenyl]methyl]-5-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c|c} H \\ N \\ \end{array}$$
 
$$CH_2 - CH_2 - NH - CH_2 \\ \end{array}$$
 
$$O - CH_2 - NH \\ S - CH_2 - NH - CH_2 - NH - CH_2 \\ \end{array}$$

PAGE 1-B

\_\_\_c1

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:9818 CAPLUS

DOCUMENT NUMBER: 130:66488

TITLE: Preparation and formulation of heterocyclic

moiety-containing sulfamoylbenzoic acid derivatives as

LTD4 and thromboxane A2 antagonists

INVENTOR(S): Ichikawa, Yoshihiro; Nishida, Tokiko; Nakano, Jun;

Watanuki, Mitsuru; Suda, Masahiro; Nakamura, Tsutomu

PATENT ASSIGNEE(S): Kaken Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

10612187a.trn

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

									APPLICATION NO.							DATE			
	WO 9857935				A1 19981223							19980612							
		W:	AL,	AU,	BA,	BB,	BG,	BR,	CA,	CN,	CU	, CZ	, E	Ē,	GE,	GW,	HU	, ID,	IL,
																		, RO,	
																		, KZ,	
			RU,	TJ,	TM														
		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW	, AT	', B	Ε,	CH,	CY,	DE	, DK,	ES,
																		, CG,	
								NE,											
	CA	2294	956	•	•	ΑĀ		1998	1223		CA	1998	-22	94	956			19980	612
	AU 9876744			A1 19990104				AU 1998-76744							19980612				
		7321																	
	EP	9992	09			A1		2000	0510		ΕP	1998	-92	45	85			19980	612
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, II	', L	I,	LU,	NL,	SE	, MC,	PT,
			ΙE,		•		-	-	-	-									
	JР	3527	514			B2		2004	0517		JP	1999	-50	41:	21			19980	612
	US	6255	321			B1		2001	0703		US	1999	-44	59'	76			19991	215
	US	6376	671			B2		2002	0423		US	2001	-84	40	95			20010	427
		2002						2002	0425										
	JΡ	2004	1372	84		A2		2004	0513	,	JΡ	2003	-39	89'	75			20031	128
PRIO	RITY	APP	LN.	INFO	. :						JΡ	1997	-17	64	58		A	19970	617
											JΡ	1999	-50	41:	21		A3	19980	612
										,	WO	1998	-JP	25	85		W	19980	612
											US	1999	-44	59'	76		A3	19991	215
										_									

OTHER SOURCE(S): MARPAT 130:66488

GΙ

$$R^{1}$$
 $R^{2}$ 
 $X$ 
 $A$ 
 $CH_{2}-N-SO_{2}$ 
 $(CH_{2})_{n}$ 
 $R^{3}$ 
 $I$ 

The title compds. I [R1, R2 = H, cycloalkyl, etc.; further details on R1 and R2 are given; A = OB, etc.; B = alkylene, etc.; a proviso is given; X = S, etc.; R3 = (un)substituted phenylsulfonylamino, etc.; R4 = H, etc.; n = 2 - 6] are prepared In an in vitro test for thromboxane A2 receptor antagonism, the title compound I [R1 = isopropyl; R2 = R4 = H; A = CH2O; R3 = p-chlorobenzenesulfonylamino; n = 4; X = S] showed the pA2 value of 8.3.

IT 217800-45-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclic moiety-containing sulfamoylbenzoic acid derivs. as

LTD4 and thromboxane A2 antagonists)

RN 217800-45-8 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-[4-[[[3-[(4-phenyl-2-

thiazolyl)methoxy]phenyl]methyl]amino]butyl]- (9CI) (CA INDEX NAME)

Ph 
$$\sim$$
 CH<sub>2</sub>-OH- (CH<sub>2</sub>)<sub>4</sub>-NH-  $\sim$  Cl

REFERENCE COUNT:

9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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CA SUBSCRIBER PRICE	-1.50	-1.50		

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